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FILE COVERS 1907 - 2 Nov 2006 VOL 145 ISS 20
FILE LAST UPDATED: 2 Nov 2006 (20061102/ED)

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=> s desosaminyl and (azithromycin or azaerythromycin)
           77 DESOSAMINYL
         3147 AZITHROMYCIN
           11 AZAERYTHROMYCIN
L1          4 DESOSAMINYL AND (AZITHROMYCIN OR AZAERYTHROMYCIN)

=> d l1 1-4
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L1 ANSWER 1 OF 4 CA COPYRIGHT 2006 ACS on STN
AN 142:6766 CA
TI Preparation of alkyl erythromycin macrolide and azalide derivatives as antibacterial agents via regioselective O-alkylation
IN Kidemet, Davor; Lazarevski, Gorjana; Derek, Marko; Leljak, Marija
PA Pliva-Istrazivacki Institut D.O.O., Croatia
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004106354	A1	20041209	WO 2004-IB1749	20040527
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005164958	A1	20050728	US 2004-851768	20040521
	CA 2526732	AA	20041209	CA 2004-2526732	20040527
	EP 1633764	A1	20060315	EP 2004-735055	20040527
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

	CN 1798755	A	20060705	CN 2004-80014868	20040527
PRAI	US 2003-474348P	P	20030530		
	US 2003-499817P	P	20030902		
	WO 2004-IB1749	W	20040527		

OS CASREACT 142:6766; MARPAT 142:6766
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 2 OF 4 CA COPYRIGHT 2006 ACS on STN
AN 139:53250 CA
TI One-step enhanced process for the preparation of 7,16-dioxa-2-aza-10-O-cladinosyl-12-O-desosaminyl-4,5-dihydroxy-6-ethyl-3,5,9,11,13,15-hexamethylbicyclo[11.2.1]hexadec-1-en-8-one from erythromycin A
IN Lara Ochoa, Jose Manuel Francisco; De La Torre Garcia, Juan Antonio; Andrade, Fidencio Franco
PA Laboratorios Silanes, S.A. de C.V., Mex.
SO Mex. Pat. Appl., 11 pp.
CODEN: MXXXA3
DT Patent
LA Spanish
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI MX 9906221	A	20000930	MX 1999-6221	19990701
PRAI MX 1999-6221		19990701		

OS CASREACT 139:53250

L1 ANSWER 3 OF 4 CA COPYRIGHT 2006 ACS on STN
AN 136:151389 CA
TI Single-step process for preparing 7,16-deoxa-2-aza-10-O-cladinosyl-12-O-desosaminyl-4,5-dihydroxy-6-ethyl-3,5,9,11,13,15-hexamethylbicyclo[11.2.1]hexadeca-1(2)-en-8-one and obtaining a new form of 9-deoxo-9a-methyl-9a-aza-9a-homoerythromycin A
IN De La Torre Garcia, Juan Antonio; Franco Andrade, Fidencio; Lara Ochoa, Jose Manuel Francisco
PA Laboratorio Silanes, S.A. De C.V., Mex.; Instituto De Investigacion En Quimica Aplicada S.C
SO PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DT Patent
LA Spanish
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002010144	A1	20020207	WO 2000-MX30	20000725
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2417353	AA	20030127	CA 2000-2417353	20000725
EP 1304326	A1	20030423	EP 2000-959035	20000725
EP 1304326	B1	20060315		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
AT 320441	E	20060415	AT 2000-959035	20000725
US 6528492	B1	20030304	US 2001-673021	20010920
PRAI EP 2000-959035	A	20000725		
WO 2000-MX30	W	20000725		

OS CASREACT 136:151389

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 4 OF 4 CA COPYRIGHT 2006 ACS on STN
AN 129:193713 CA
TI Pain reducing parenteral liposome formulation containing macrolide drugs and negatively charged lipids
IN Liu, Rong; Peck, Kendall D.; Flood, Kolette M.; Zheng, Jack
PA Abbott Laboratories, USA
SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9833482	A1	19980806	WO 1998-US1430	19980126
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2279259	AA	19980806	CA 1998-2279259	19980126
	AU 9860414	A1	19980825	AU 1998-60414	19980126
	EP 975330	A1	20000202	EP 1998-903718	19980126
	R: DE, FR, GB, IT				
	JP 2001511780	T2	20010814	JP 1998-532984	19980126
	ZA 9800833	A	19990526	ZA 1998-833	19980202
	MX 9907204	A	20000228	MX 1999-7204	19990804
PRAI	US 1997-794064	A	19970204		
	US 1998-3606	A	19980107		
	WO 1998-US1430	W	19980126		

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l1 1-4 an ab

L1 ANSWER 1 OF 4 CA COPYRIGHT 2006 ACS on STN
AN 142:6766 CA
AB The present disclosure relates to new 11-O-alkyl macrolides and azalide erythromycins I, wherein R is hydroxy, -NH, or together with R1 forms a keto group or =NR6; R1 is hydrogen, -NH, or together with R forms a keto group or =NR6; R6 is H or alkyl; R3 is desosaminyl sugar residue or hydroxy; R4 is hydrogen, alkyl, alkenyl optionally substituted by 9 to 10 membered fused bicyclic heteroaryl; R5 is hydrogen or fluorine; and pharmaceutically acceptable salts and solvates thereof, and to pharmaceutical compns. thereof. The disclosure also relates to a process for the preparation of 11-O-alkyl macrolides and azalides by regioselective 11-O-alkylation of macrolides and azalides having a vicinal diol system, using diazo-alkanes in the presence of transition-metal halides or boric acid as catalysts. In another aspect, the disclosure relates to uses of the 11-O-alkyl macrolides and azalides as antibacterial agents or intermediates for the synthesis of other antibacterial agents. Thus, 11-O-methyl-9-deoxo-9a-aza-9a-homoerythromycin was prepared as as antibacterial agents via regioselective O-methylation. The compds. of the invention may be active against strains of Staphylococcus aureus, Streptococcus pneumoniae, Moraxella catarrhalis, Streptococcus pyogenes, or Haemophilus influenzae. The compds. of the present invention exhibit better activity against inducible (Streptococcus pyogenes B0543 and B0545) resistant strains than the parent compds. Title compds. can be

administered at a dosage of from about 1 mg/kg to about 1000 mg/kg of body weight per day. The preferred dosage range is from about 5 mg/kg to about 200 mg/kg of body weight per day.

L1 ANSWER 2 OF 4 CA COPYRIGHT 2006 ACS on STN
AN 139:53250 CA
AB An improved process was disclosed for the preparation of the title compound I via
cyclization of erythromycin A with good yield and under mild conditions. Erythromycin A was transformed in one-step into an azithromycin intermediate 6,9-iminoether I, through the in situ formation of mesitylenesulfonyl oxime from the erythromycin, which in the presence of a base in aqueous acetone underwent a Beckmann rearrangement.

L1 ANSWER 3 OF 4 CA COPYRIGHT 2006 ACS on STN
AN 136:151389 CA
AB An improved method for preparing 7,16-deoxa-2-aza-10-O-cladinosyl-12-O-desosaminyl-4,5-dihydroxy-6-ethyl-3,5,9,11,13,15-hexamethylbicyclo[11.2.1]hexadeca-1(2)-en-8-one comprises treating erythromycin A with O-(mesitylenesulfonyl)hydroxylamine in acetone (75% yield). Catalytic reduction of the product afforded 9-deoxo-9a-methyl-9a-aza-9a-homoerythromycin A (azithromycin), which was characterized spectrally, by differential thermal anal. and X-ray diffraction.

L1 ANSWER 4 OF 4 CA COPYRIGHT 2006 ACS on STN
AN 129:193713 CA
AB Disclosed is an invention directed towards pain-reducing parenteral formulations comprising a macrolide drug entrapped in a liposome vesicle. The macrolide drug is selected from the group consisting of derivs. of erythromycins A, B, C and D; clarithromycin; azithromycin; dirithromycin; josamycin; midecamycin; kitasamycin; roxithromycin; rokitamycin; oleandomycin; miocamycin; flurithromycin; rosaramicin; 8,9-anhydro-4''-deoxy-3'-N-desmethyl-3'-N-ethylerythromycin B 6,9-hemiacetal; 8,9-anhydro-4''-deoxy-3'-N-desmethyl-3'-N-ethylerythromycin A 6,9-hemiacetal; and 11-amino-11-de oxy-3-oxo-5-O-desosaminyl-6-O-[1'-3'-quinolyl-2'-propenyl]-erythronolide A 11,12-cyclic carbamate. The formulations of the invention are effective in substantially reducing the pain at the injection site typically associated with the injection of macrolide antibiotics. A liposomal formulation was prepared containing ABT-229 125, dimyristoylphosphatidylcholine 850, phosphatidylglycerol 430, BHT 2.5, lactose 5000 mg, and water q.s. 50 mL.